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                 IPC version 2007.01 thesaurus available on STN
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                 CA/CAplus enhanced with patent applications from India
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                 PHAR reloaded with new search and display fields
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         JAN 29
                 multiple databases
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                 EMBASE enhanced with Clinical Trial Number field
         FEB 26
NEWS 15
                 TOXCENTER enhanced with reloaded MEDLINE
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                 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
         FEB 26
                 CAS Registry Number crossover limit increased from 10,000
NEWS 17
         FEB 26
                 to 300,000 in multiple databases
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                 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02
                 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30
                 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25
         APR 30
                 CHEMCATS enhanced with 1.2 million new records
NEWS 26
         APR 30
                 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27
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         APR 30
         MAY 01
NEWS 28
                 New CAS web site launched
NEWS 29
         MAY 08
                 CA/CAplus Indian patent publication number format defined
NEWS 30 MAY 14
                 RDISCLOSURE on STN Easy enhanced with new search and display
NEWS 31 MAY 21
                 BIOSIS reloaded and enhanced with archival data
         MAY 21
                 TOXCENTER enhanced with BIOSIS reload
NEWS 32
NEWS 33
        MAY 21
                 CA/CAplus enhanced with additional kind codes for German
                 patents
NEWS 34 MAY 22
                 CA/CAplus enhanced with IPC reclassification in Japanese
                 patents
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              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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chain nodes : 11 12 13 14 19 15 16 17 18 ring nodes :

1 2 3 4 5 6 10

chain bonds :

2-16 7-20 8-11 11-12 11-18 12-13 13-14 14-15 14-19 ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 exact/norm bonds :

2-16 5-7 6-10 7-8 7-20 8-9 9-10 11-12 11-18 12-13 13-14 14-15 14-19 16-17

exact bonds :

8-11

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 11:16:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 227 TO ITERATE

100.0% PROCESSED 227 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3637 TO 5443
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 11:17:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4076 TO ITERATE

100.0% PROCESSED 4076 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

L3 7 SEA SSS FUL L1

=> d scan

L3 7 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN L-Serine, N-[[5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[[3-(1-pyrrolidinyl)propyl]amino]-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-yl]carbonyl]-, methyl ester (9CI)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):6

L3 7 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI)

MF C20 H26 F N3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L3 7 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
- IN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI)
- MF C25 H34 F N3 O4

$$\begin{array}{c|c} & \text{Et} \\ & \\ & \\ \text{CH}_2 - \text{NH} \\ & \\ & \\ \text{O} & \\ \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 7 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI)

MF C19 H24 F N3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 7 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4oxo-3-quinolinyl]carbonyl]- (9CI)

MF C18 H22 F N3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 7 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4oxo-3-quinolinyl]carbonyl]- (9CI)

MF C21 H26 F N3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 7 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3quinolinyl]carbonyl]- (9CI)

MF C17 H20 F N3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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FULL ESTIMATED COST

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ENTRY SESSION
174.80 175.01

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SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
2.82 177.83

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=> s 13

L4 7 L3

=> d l4 abs ibib hitstr

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of

compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with
NHR11R12 [R11, R12 = same as above], was provided. For example, to a
solution of compound III [R = OH; R' = cyclopentyl] (400 mg) in DMF (5.0 mL)
was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the the
reaction was stirred at 100 °C for 20 h. The resulting mixture was
treated with Et3N (0.2 mL) and glycine Et ester hydrochloride (180 mg) at
room temperature for 5 h to give compound III [R = NHCH2CO2Et; R' =
cyclopentyl].

In platelet aggregation inhibition assays, compound III [R = NHCH2CH2P(:O) (OH) 2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the activity of 92%.

ACCESSION NUMBER:

2006:882644 CAPLUS

DOCUMENT NUMBER:

145:292885

TITLE:

Quinolone and related compounds as platelet aggregation inhibitors, and process for the

preparation thereof

INVENTOR(S):

Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takahashi,

Atsushi

PATENT ASSIGNEE(S):

Astellas Pharma Inc., Japan Jpn. Kokai Tokkyo Koho, 95pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
JP 2006225379	` A	20060831	JP 2006-9367		20060118
RIORITY APPLN. INFO.:			JP 2005-12618	Α	20050120

OTHER SOURCE(S):

MARPAT 145:292885

836613-50-4P 836617-05-1P 836617-06-2P

836617-18-6P 836617-19-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolone and related compds. as platelet aggregation inhibitors)

RN 836613-50-4 CAPLUS

CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 836617-05-1 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 836617-06-2 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 836617-18-6 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 836617-19-7 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

IT 836621-98-8P, tert-Butyl [([7-[(cyclohexylmethyl)amino]-1-ethyl-6fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of quinolone and related compds. as platelet aggregation

inhibitors)

RN 836621-98-8 CAPLUS

CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

=> d 14 2-7 abs ibib hitstr

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un) substituted alkyl, optionally substituted amino by (un) substituted alkyl; R12 = H, (un) substituted alkyl, aryl; R11 and R12 may combine to form a (un) substituted cyclic amino group in cooperation with the adjacent nitrogen; R2 = (un) substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -O-alkyl; R4 = (un) substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Pd/C catalyzed debenzylation of compound II [R = OCH2Ph] under H2 afforded compound II [R = OH]. In platelet aggregation inhibition assays, compound II [R =

II

OH] exhibited the activity of 92%.

ACCESSION NUMBER:

2006:882641 CAPLUS

DOCUMENT NUMBER:

145:292884

TITLE:

Preparation of quinolone derivatives as platelet

aggregation inhibitors

INVENTOR (S):

Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki;

Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi

PATENT ASSIGNEE(S):

Astellas Pharma Inc., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 95pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

1

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
JP 2006225378	Α	20060831	JP 2006-9349	20060118		
PRIORITY APPLN. INFO.:			JP 2005-12561 A	20050120		

OTHER SOURCE(S):

MARPAT 145:292884

836613-50-4P 836617-05-1P 836617-06-2P

836617-18-6P 836617-19-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolone derivs. as platelet aggregation inhibitors)

RN 836613-50-4 CAPLUS

Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-CN oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 836617-05-1 CAPLUS

Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-CN quinolinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 836617-06-2 CAPLUS

Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-CN oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 836617-18-6 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 836617-19-7 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

IT 836621-98-8P, tert-Butyl [([7-[(cyclohexylmethyl)amino]-1-ethyl-6fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of quinolone derivs. as platelet aggregation inhibitors)

RN 836621-98-8 CAPLUS

CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$R^3$$
 R^5
 N_R^{11}
 R^1
 R^2
 N_R^{11}
 N_R^{11

The title compds. (I) and pharmaceutically acceptable salts thereof ΔR characterized by each having an amide group at the 3-position which is substituted with a substituent having a carboxylate ester, phosphate ester, sulfate ester or the like, and an amino group at the 7-position which is substituted with a substituent having a ring structure [Y = C-R6; R6 = H, halo, lower alkyl, halo-lower alkyl; R2 = each (un) substituted lower alkyl, cycloalkyl, aryl, or heterocyclyl; R3 = halo; R5 = H, HO, halo; R11 = H, lower alkyl or lower alkyl-amino wherein lower alkyl is optionally substituted; R12 = (un)substituted lower alkyl] are prepared These compds. have excellent P2Y12 (adenine diphosphate receptor) inhibitory effect and platelet agglutination inhibitory effect and consequently are useful as platelet agglutination inhibitors. Thus, hydrogenolysis of [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5y1)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-y1]carbonyl)amino)ethyl]phosphoni c acid dibenzyl ester over 10% Pd-C in MeOH under hydrogen atmospheric for 3 h qave [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid (II). II inhibited ADP-induced aggregation of human blood platelet by 92% at 10 μM and the binding of [3H]-2-MeS-ADP to human P2Y12 by 96% at 30 nM.

ACCESSION NUMBER:

2006:733081 CAPLUS

DOCUMENT NUMBER:

145:188746

TITLE:

Preparation of 4-quinolone-3-carboxamide derivatives and salts thereof as platelet aggregation inhibitors

INVENTOR(S):

Koga, Yuji; Okuda, Takao; Hirabayashi, Ryoji; Fujiyasu, Jiro; Miyazaki, Takehiro; Watanuki, Susumu;

Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun

PATENT ASSIGNEE(S):

Astellas Pharma Inc., Japan PCT Int. Appl., 150 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ______ _ _ _ _ WO 2006077851 A1 20060727 WO 2006-JP300590 20060118 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: JP 2005-12715 20050120 OTHER SOURCE(S): MARPAT 145:188746 IT 836621-98-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 4-oxoquinoline-3-carboxamide derivs. and salts thereof as platelet aggregation inhibitors and P2Y12 receptor inhibitors)

RN836621-98-8 CAPLUS

Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-CN oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 836613-50-4P, [[[7-[(Cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4oxo-1,4-dihydroquinolin-3-yl]carbonyl]amino]acetic acid 836617-05-1P 836617-06-2P 836617-18-6P 836617-19-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 4-oxoquinoline-3-carboxamide derivs. and salts thereof as platelet aggregation inhibitors and P2Y12 receptor inhibitors)

RN 836613-50-4 CAPLUS Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-CN oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 836617-05-1 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 836617-06-2 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 836617-18-6 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 836617-19-7 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

6

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The present invention relates to quinobenzoxazines analogs I [V = H, halo, AB NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un) substituted heterocyclyl, (hetero) aryl; W = (un) substituted (hetero)aryl which may be monocyclic or fused with a single or multiple ring and optionally containing a heteroatom; R5 = H, OR2, alkyl, alkenyl, etc.] or II [V, A, X, Z, and U are as defined above; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful in screening and for inducing apoptosis. Over forty synthetic examples showed the synthesis of intermediates and target compds. E.g., a multi-step synthesis of the amide III, starting from 2,3,4,5-tetrafluorobenzoic acid, was given. title compds. were tested in various tests. For example, they were tested in a stop assay, a high throughput, first-pass screen detecting drugs that bind to and stabilize the target G-quadruplex. E.g., the compound III exhibits approx. 400x selectivity for the c-Myc quadruplex relative to pUC 18 plasmid DNA. III was also tested for antitumor activity (biol. data given). The pharmaceutical composition comprising the compds. I or II is disclosed.

ACCESSION NUMBER: 2006:120542 CAPLUS

DOCUMENT NUMBER: 144:212787

TITLE: Preparation of substituted quinobenzoxazine analogs as

antitumor agents

INVENTOR(S): Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain,

Adam; Moran, Terence

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 558 pp., Cont.-in-part of U.S.

Ser. No. 903,975.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PAT	CENT 1	NO.			KIN		DATE		j	APPL:	ICAT:	ION 1	NO.			ATE	
US	2006	0299	 50		A1		2006	0209	1	US 20	005-	1069	9			00504	
	7141		-		B1		2006:			US 2			-			00404	
US	2005	0854	68		A1			20050421			US 2004-903975				20040730		
AU	2005	3252	10		A1	:	2006	0727	i	AU 2	005-3	3252	10		20	050	729
CA	2575	547		A1			20060727 CA 2005-2575547					20050729					
WO	2006078317 A1			20060727			WO 2005-US26977				20050729						
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		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM EP 1773346 A1 20070418 EP 2005-856890 20050729 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR PRIORITY APPLN. INFO.: US 2003-461271P P 20030407 US 2003-463171P Ρ 20030415 US 2003-519535P Р 20031112 US 2003-532727P Р 20031223 US 2004-821243 A2 20040407 US 2004-903975 A2 20040730 US 2005-106909 20050415 Α WO 2005-US26977 W 20050729 OTHER SOURCE(S): MARPAT 144:212787 IT 783361-99-9P · RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted quinobenzoxazine analogs as antitumor agents) RN 783361-99-9 CAPLUS L-Serine, N-[[5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[[3-(1-CN pyrrolidinyl)propyl]amino]-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo,

pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μM . The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

ACCESSION NUMBER:

2005:349002 CAPLUS

DOCUMENT NUMBER:

142:373851

TITLE:

Preparation of substituted quinobenzoxazine analogs as

antitumor agents

INVENTOR(S):

Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain,

Adam; Moran, Terence

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 453 pp., Cont.-in-part of U.S.

Ser. No. 821,243.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

USA

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO	•	KIND	DATE	APPLICA	TION NO.		DATE		
	5468		20050421	**	-903975		20040730		
US 714156	-		20061128		-821243		20040407		
US 200602		A1	20060209		-106909	20050415			
AU 200532		A1	20060727	AU 2005	-325210	20050729			
CA 257554	7	A1	20060727	CA 2005	-2575547	20050729			
WO 200607	8317	A1	20060727	WO 2005	-US26977				
W: A	E, AG, AL,	AM, AT	, AU, AZ,	BA, BB, BG	, BR, BW,	BY, BZ	CA, CH,		
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G	E, GH, GM,	HR, HU	, ID, IL,	IN, IS, JP	, KE, KG,	KM, KP	, KR, KZ,		
L	C, LK, LR,	LS, LT	, LU, LV,	MA, MD, MG	, MK, MN,	MW, MX	, MZ, NA,		
N	G, NI, NO,	NZ, OM	, PG, PH,	PL, PT, RO	, RU, SC,	SD, SE	, SG, SK,		
S	L, SM, SY,	TJ, TM	, TN, TR,	TT, TZ, UA	, UG, US,	UZ, VC	, VN, YU,		
Z	A, ZM, ZW								
RW: A	T, BE, BG,	CH, CY	, CZ, DE,	DK, EE, ES	, FI, FR,	GB, GR	, HU, IE,		
I	S, IT, LT,	LU, LV	, MC, NL,	PL, PT, RO	, SE, SI,	SK, TR	, BF, BJ,		
С	F, CG, CI,	CM, GA	, GN, GQ,	GW, ML, MR	, NE, SN,	TD, TG	, BW, GH,		
G	M, KE, LS,	MW, MZ	, NA, SD,	SL, SZ, TZ	, UG, ZM,	ZW, AM	, AZ, BY,		
K	G, KZ, MD,	RU, TJ	, TM				•		
EP 177334	A1	20070418	EP 2005	-856890	20050729				
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I	S, IT, LI,	LT, LU	, LV, MC,	NL, PL, PT	, RO, SE,	SI, SK	, TR		
US 200622	A1	20061012	US 2006	-390810		20060328			
US 200704	A1	20070222	US 2006	-431602	20060510				
PRIORITY APPLN	. INFO.:			US 2003	-461271P	P	20030407		
				US 2003	-463171P	P	20030415		
				US 2003	-519535P	P	20031112		
				US 2003	-532727P	P	20031223		
				US 2004	-821243	A2	20040407		
				US 2004	-903975	A2	20040730		
				US 2005	-106909	Α	20050415		
				WO 2005	-US26977	W	20050729		
OTHER SOURCE (S) :	MARPAT	142:3738	51					

OTHER SOURCE(S): MARPAT 142:373851

IT 783361-99-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents)

RN 783361-99-9 CAPLUS

CN L-Serine, N-[[5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[[3-(1-pyrrolidinyl)propyl]amino]-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Ι

II

Absolute stereochemistry.

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un) substituted alkyl,AB etc.; R12 = H, (un) substituted alkyl, etc.; R2 = (un) substituted alkyl, etc.; R3 = halo, etc.; R4 = (un) substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.] were prepared For example, hydrogenolysis of compound II [A = OCH2Ph] afforded compound II [A = OH]. In platelet aggregation inhibition assays, compound II [A = OH] exhibited inhibition activity of 92%. Compds. I are claimed useful as platelet aggregation inhibitors, P2Y12 inhibitors. ACCESSION NUMBER: 2005:99478 CAPLUS DOCUMENT NUMBER: 142:197896 TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Issei; Kaga, Daisuke; Okuda, Takao; INVENTOR (S): Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun Yamanouchi Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 120 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent Japanese LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE ______ ---------_____ WO 2004-JP10781 WO 2005009971 **A1** 20050203 20040722 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2005053903 20050303 JP 2004-212326 20040720 Α CA 2530352 **A1** 20050203 CA 2004-2530352 20040722 20060426 EP 2004-748045 EP 1650192 A1 20040722 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK CN 2004-80021187 CN 1826321 20060830 Α 20040722 US 2006148806 20060706 US 2005-562128 Α1 20051223 PRIORITY APPLN. INFO.: JP 2003-278852 A 20030724 WO 2004-JP10781 W 20040722

OTHER SOURCE(S): MARPAT 142:197896 IT 836613-50-4P 836617-05-1P 836617-06-2P

836617-18-6P 836617-19-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolone derivs. as platelet aggregation inhibitors, P2Y12 inhibitors)

RN 836613-50-4 CAPLUS

CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

$$CH_2-NH$$
 $C-NH-CH_2-CO_2H$

RN 836617-05-1 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 836617-06-2 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 836617-18-6 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 836617-19-7 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

IT 836621-98-8P, tert-Butyl [([7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinolone derivs. as platelet aggregation inhibitors, P2Y12 inhibitors)

RN 836621-98-8 CAPLUS

CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX

REFERENCE COUNT:

THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un) substituted heterocyclyl, (hetero) aryl; W = (un) substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

ACCESSION NUMBER:

2004:902098 CAPLUS

DOCUMENT NUMBER:

141:395565

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antitumor agents
                         Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain,
INVENTOR (S):
                         Adam; Moran, Terrance
                         Cyclene Pharmaceuticals, Inc., USA
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 438 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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                                                                   DATE
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     WO 2004091504
                         A2
                                20041028
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                                            US 2003-461271P
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OTHER SOURCE(S):
                         MARPAT 141:395565
   783361-99-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of substituted quinobenzoxazine analogs as antitumor agents)
     783361-99-9 CAPLUS
RN
     L-Serine, N-[[5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[[3-(1-
CN
     pyrrolidinyl)propyl]amino]-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-
     yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)
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Preparation of substituted quinobenzoxazine analogs as

Absolute stereochemistry.

TITLE:

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Connection closed by remote host